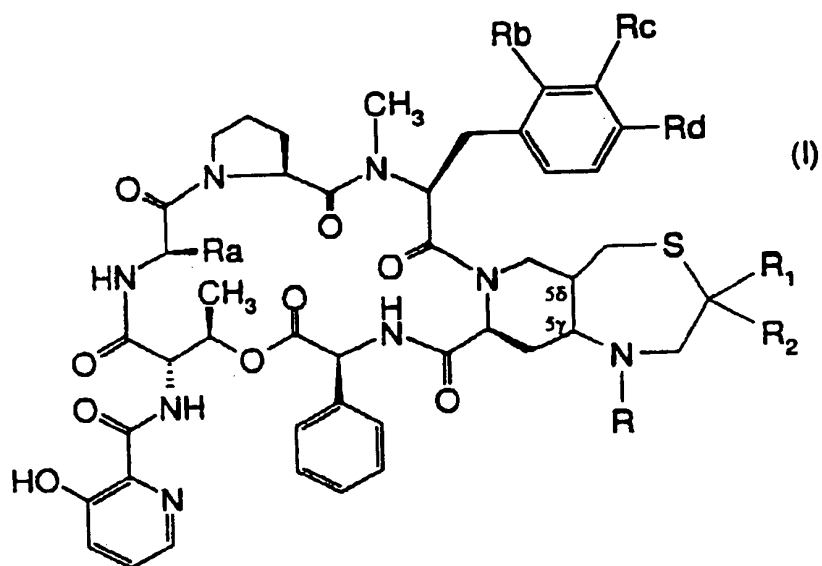


CLAIMS

1. Group B streptogramin derivative of general formula:



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in which:

R represents a hydrogen atom or an alkyl radical of structure  $R'-CH_2-$  ( $R'$  being a straight or branched alkyl) or an acyl radical optionally substituted with hydroxyl,  $R_1$  and  $R_2$ , which are identical or different, represent a hydrogen atom or an alkyl radical, Ra is a methyl or ethyl radical, and Rb, Rc and Rd have the definitions below:

- 1) Rb and Rc are hydrogen atoms and Rd is a hydrogen atom or a methylamino or dimethylamino radical,
- 2) Rb is a hydrogen atom, Rc is a hydrogen, chlorine or bromine atom, or represents an alkenyl radical (3 to 5C), and Rd is a radical  $-NMe-R'''$  for which  $R'''$  represents a radical alkyl, hydroxyalkyl (2

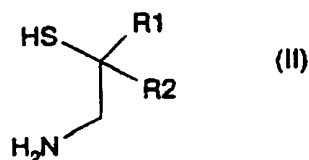
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to 4C) or alkenyl (2 to 8C) optionally substituted with phenyl, cycloalkyl(3 to 6C)methyl, benzyl, benzyl substituted [with one or more halogen atoms or hydroxyl, alkyl, alkyloxy, alkylthio, alkylsulfinyl, alkylsulfonyl, amino, alkylamino or dialkylamino radicals], heterocyclylmethyl or heterocyclylethyl in which the heterocyclyl portion is saturated or unsaturated and contains 5 to 6 members and 1 or 2 heteroatoms chosen from sulfur, oxygen or nitrogen optionally substituted [with a radical alkyl, alkenyl (2 to 8 carbons), cycloalkyl (3 to 6 carbons), saturated or unsaturated heterocyclyl (4 to 6 members), phenyl, phenyl substituted as defined above for the definition of R<sub>1</sub> or benzyl] or R''' represents a cyanomethyl or carboxymethyl radical, or represents -CORE or -CH<sub>2</sub>CORE for which either Re is -OR'e, R'e being alkyl (1 to 6 carbons), alkenyl (2 to 6 carbons), benzyl or heterocyclylmethyl in which the heterocyclyl portion contains 5 to 6 members and 1 or 2 heteroatoms chosen from sulfur, oxygen or nitrogen or Re is a radical alkylamino, alkylmethylamino, heterocyclylamino or heterocyclylmethylamino in which the heterocyclyl portion is saturated and contains 5 to 6 members and 1 or 2 heteroatoms chosen from sulfur, oxygen or nitrogen optionally substituted with an alkyl, benzyl or alkyloxycarbonyl radical,

- 3) Rb is a hydrogen atom, Rd is a radical  $\text{-NHCH}_3$  or  $\text{-N(CH}_3)_2$  and Rc is a chlorine or bromine atom, or represents an alkenyl radical (3 to 5C), [if Rd is  $\text{-N(CH}_3)_2$ ],
- 5 4) Rb and Rd are hydrogen atoms and Rc is a halogen atom, or an alkylamino or dialkylamino, alkyloxy, trifluoromethoxy, thioalkyl, alkyl (1 to 6C) or trihalomethyl radical,
- 10 5) Rb and Rc are hydrogen atoms and Rd is a halogen atom, or an ethylamino, diethylamino or methylethylamino, alkyloxy or trifluoromethoxy, alkylthio, alkylsulfinyl, alkylsulfonyl, alkyl (1 to 6C), phenyl or trihalomethyl radical,
- 15 6) Rb is a hydrogen atom and Rc is a halogen atom or an alkylamino or dialkylamino, alkyloxy or trifluoromethoxy, thioalkyl, or alkyl (1 to 3C) radical, and Rd is a halogen atom or an amino, alkylamino or dialkylamino, alkyloxy or trifluoromethoxy, thioalkyl, alkyl (1 to 6C) or
- 20 trihalomethyl radical,
- 7) Rc is a hydrogen atom and Rb and Rd represent a methyl radical,
- it being understood that, unless otherwise stated, the alkyl or acyl radicals are straight or branched and
- 25 contain 1 to 4 carbon atoms in its  $5\gamma(\text{R}), 5\delta(\text{S})$  or  $5\gamma(\text{S}), 5\delta(\text{R})$  forms or in the form of mixtures thereof, as well as its salts when they exist.

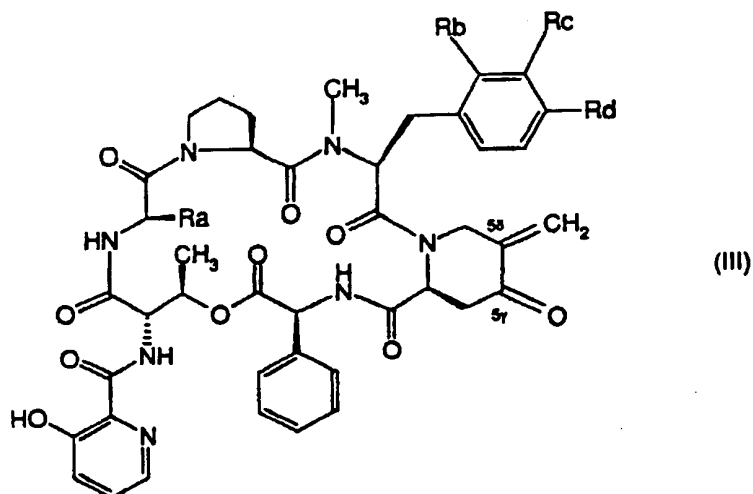
2. Process for the preparation of a streptogramin derivative according to claim 1, characterized in that an aminomercaptan of general formula:

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in which  $R_1$  and  $R_2$  are as defined in claim 1, is reacted with the group B synergistin derivative of general formula:

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in which  $R_a$ ,  $R_b$ ,  $R_c$  and  $R_d$  are as defined in claim 1, and then the 5 $\delta$ -aminoethylthiomethyl derivative obtained is subjected to a reducing treatment, the stereoisomers are optionally separated and/or the hexahydrothiazepino ring is substituted with a radical

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R as defined in claim 1 and, where appropriate, the product obtained is optionally converted to a salt.

3. Process according to claim 2, characterized in that, to substitute a radical R  
5 representing an alkyl radical as defined in claim 1, the substitution is carried out by treatment, in a reducing medium, of the corresponding derivative for which R is a hydrogen atom, with an aldehyde of general formula:

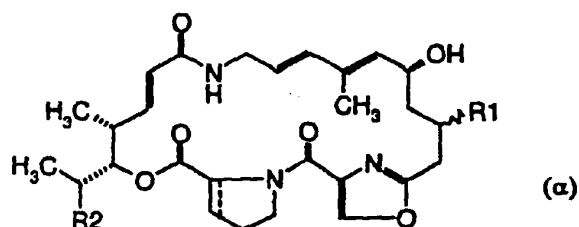


in which R is as defined in claim 1.

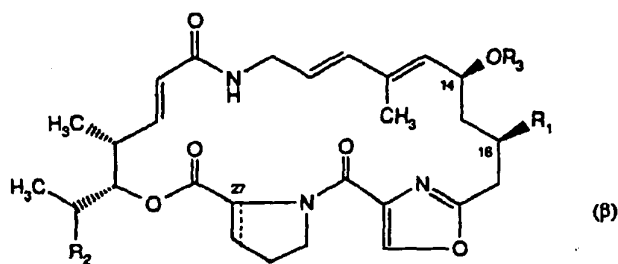
4. Process according to claim 2, characterized in that to obtain a streptogramin derivative according to claim 1, for which R is an acyl  
15 radical optionally substituted with a hydroxyl radical, the acylation of the corresponding derivative for which R is a hydrogen atom is carried out by any known method which does not adversely modify the rest of the molecule.

20 5. Pharmaceutical compositions comprising at least one group B streptogramin derivative according to claim 1, in the pure state or in the form of a combination with at least one group A streptogramin derivative, where appropriate in the form of a salt,  
25 and/or in the form of a combination with one or more compatible and pharmaceutically acceptable diluents or adjuvants.

6. Pharmaceutical compositions according to claim 5, characterized in that the group A streptogramin derivative is chosen from pristinamycin II<sub>A</sub>, pristinamycin II<sub>B</sub>, pristinamycin II<sub>C</sub>, pristinamycin II<sub>D</sub>, pristinamycin II<sub>E</sub>, pristinamycin II<sub>F</sub>, pristinamycin II<sub>G</sub> or from known semisynthetic derivatives or from the derivatives of general formula:



in which R<sub>1</sub> is a radical -NR'R'' for which R' is a hydrogen atom or a methyl radical, and R'' is a hydrogen atom, an alkyl, cycloalkyl, allyl, propargyl, benzyl, or -OR''' radical, R''' being a hydrogen atom, an alkyl, cycloalkyl, allyl, propargyl or benzyl radical, or -NR<sub>3</sub>R<sub>4</sub>, it being possible for R<sub>3</sub> and R<sub>4</sub> to represent a methyl radical, or to form together with the nitrogen atom to which they are attached a saturated or unsaturated 4- or 5-membered heterocycle which may, in addition, contain another heteroatom chosen from nitrogen, oxygen or sulfur, R<sub>2</sub> is a hydrogen atom or a methyl or ethyl radical, and the bond --- represents a single bond or a double bond or from semisynthetic derivatives of general formula:



in which R<sub>1</sub> represents a halogen atom or an azido or  
 thiocyanato radical, R<sub>2</sub> represents a hydrogen atom or a  
 methyl or ethyl radical, R<sub>3</sub> represents a hydrogen atom,  
 5 or the residue of an aliphatic, cycloaliphatic,  
 aromatic, araliphatic, heterocyclic or  
 heterocyclaliphatic ester which may be substituted,  
 and the bond --- represents a single bond  
 (stereochemistry 27R) or a double bond, and their salts  
 10 when they exist. And in particular, the products of  
 general formula (β) for which the ester residue R<sub>3</sub> may  
 be chosen from: from R'<sub>3</sub>-CO- radicals for which R'<sub>3</sub> is  
 phenyl or phenylalkyl which are not substituted or  
 which are substituted on the phenyl radical [with one  
 15 or more radicals chosen from alkyl, optionally carrying  
 a radical NR''R''' in which the radicals R'' and R''',  
 which are identical or different, may be hydrogen atoms  
 or alkyl radicals which may form together with the  
 nitrogen atom to which they are attached a 3- to 8-  
 20 membered saturated or unsaturated heterocyclaliphatic radical,  
 optionally comprising another heteroatom chosen from  
 oxygen, sulfur or nitrogen, it being possible for said  
 heterocycle itself to be substituted with one or more  
 radicals (alkyl, hydroxyalkyl, alkyloxyalkyl,

alkyloxycarbonylalkyl, aryl, saturated or unsaturated  
 3- to 8-membered heterocyclyl or heterocyclalkyl or  
 -CH<sub>2</sub>-CO-NR''R''') or R'' and/or R''' may be a radical  
 hydroxyalkyl, phenyl, saturated or unsaturated 3- to 8-  
 5 membered heterocyclalkyl, -CO-NR''R''' for which  
 NR''R''' is as defined above, or alkyl or acyl  
 substituted with NR''R''' as defined above] or R'<sub>3</sub> may  
 be chosen from phenyl or phenylalkyl radicals  
 substituted on the phenyl radical with one or more  
 10 radicals [chosen from alkyl, which may be substituted  
 with an alkyloxy or alkylthio radical themselves  
 optionally carrying a carboxyl radical or a radical  
 NR''R''' as defined above, or chosen from acyloxy which  
 may be substituted with NR''R''' as defined above], or  
 15 R'<sub>3</sub> may be chosen from alkyl or cycloalkyl radicals  
 optionally substituted [with a carboxyl or  
 carboxyalkyldisulfanyl radical or with a radical  
 NR''R''', -CH<sub>2</sub>-NR''R''', -CO-NR''R''', or with an  
 alkyloxycarbonyl, alkyloxy or alkylidisulfanyl radical  
 20 optionally substituted with NR''R''' or -CO-NR''R'''  
 for which NR''R''' is as defined above] or R'<sub>3</sub> may be  
 chosen from saturated or unsaturated 3- to 8-membered  
 heterocyclyl radicals optionally substituted [with  
 alkyl or acyl which are themselves optionally  
 25 substituted with NR''R'''].

7. Combinations of a group B streptogramin  
 derivative, according to claim 1, with at least one one  
 group A streptogramin derivative as defined in claim 6.